IDH-1 Inhibitor FT-2102

National Cancer Institute

Source

National Cancer Institute. IDH-1 Inhibitor FT-2102. NCI Thesaurus. Code C129687.

An orally available inhibitor of isocitrate dehydrogenase type 1 (IDH1; IDH-1; IDH1 [NADP+] soluble) with a mutation at arginine (R) 132, IDH1(R132), with potential antineoplastic activity. Upon administration, IDH-1 inhibitor FT-2102 specifically inhibits IDH1(R132), thereby inhibiting the formation of the oncometabolite 2-hydroxyglutarate (2HG) from alpha-ketoglutarate (a-KG). This prevents 2HG-mediated signaling and leads to both an induction of cellular differentiation and an inhibition of cellular proliferation in tumor cells expressing IDH(R132). IDH1(R132) mutations are highly expressed in certain malignancies, including gliomas; they initiate and drive cancer growth by both blocking cell differentiation and catalyzing the formation of 2HG.